

L7 4 L6

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L7 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN  
 AB This document discloses a process for the production of acid addition salts of 3-[4-(8-fluoro-5,11-dihydrobenz[b]oxepino[4,3-b]pyridin-11-ylidene)piperidino]propionic acid alkyl esters, characterized by reacting alkyl 3-[4-(8-fluoro-5,11-dihydrobenz[b]oxepino- [4,3-b]pyridin-11-ylidene)piperidino]propionate with an acid; and a process for the production of 3-[4-(8-fluoro-5,11- dihydrobenz[b]oxepino[4,3-b]pyridin-11-ylidene)piperidino]propionic acid by using the above acid addition salt as the intermediate. Thus, treatment of Et 3-[4-(8-fluoro-5,11-dihydrobenz[b]oxepino- [4,3-b]pyridin-11-ylidene)piperidino]propionate (I) in ethanol with HCl in Et acetate gave I.HCl.  
 AN 2004:902387 CAPLUS  
 DN 141:379912  
 TI Process for preparation of dihydrobenz[b]oxepino[4,3-b]pyridin-11-ylidene)piperidino]propionic acid alkyl esters acid salts and dihydrobenz[b]oxepino[4,3-b]pyridin-11-ylidene)piperidino]propionic acid derivative  
 IN Uda, Junichiro; Sasaki, Tomomitsu; Sato, Takahiro; Inoue, Tsutomu  
 PA Fujiyakuhin Co. Ltd., Japan  
 SO PCT Int. Appl., 22 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

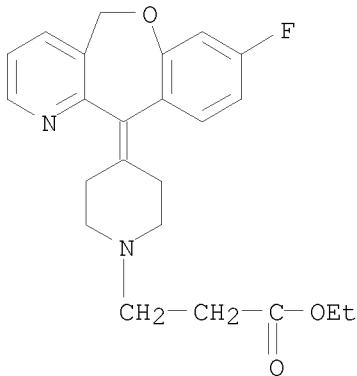
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004092178	A1	20041028	WO 2004-JP5304	20040414
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004230380	A1	20041028	AU 2004-230380	20040414
	CA 2521831	A1	20041028	CA 2004-2521831	20040414
	EP 1614689	A1	20060111	EP 2004-727399	20040414
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	CN 1774440	A	20060517	CN 2004-80009854	20040414
	US 20060217556	A1	20060928	US 2005-553034	20051011
PRAI	JP 2003-109892	A	20030415		
	WO 2004-JP5304	W	20040414		
OS	CASREACT 141:379912				
IT	153250-06-7P				
	RL: IMF (Industrial manufacture); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT				

(Reactant or reagent)

(preparation of dihydrobenz[b]oxepino[4,3-b]pyridin-11-ylidene)piperidino]propionic acid alkyl esters acid salts and dihydrobenz[b]oxepino[4,3-b]pyridin-11-ylidene)piperidino]propionic acid derivative)

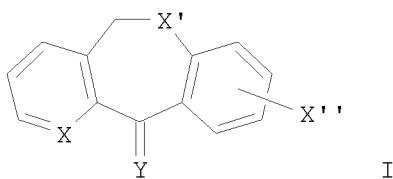
RN 153250-06-7 CAPLUS

CN 1-Piperidinepropanoic acid, 4-(8-fluoro[1]benzoxepino[4,3-b]pyridin-11(5H)-ylidene)-, ethyl ester (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN  
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AB Title compds. I [X = CH, N; X' = CH<sub>2</sub>, O; X'' = inert group; Y = Z; R = (esterified or amidated) carboxyalkyl, alkyl, (esterified or amidated) CO<sub>2</sub>H] are prepared by reaction of I (Y = O) with ZO (R = esterified or amidated carboxyalkyl, alkyl, esterified or amidated CO<sub>2</sub>H) in the presence of low-valent Ti compds. and optional hydrolysis. I (X = N, X' = O, X'' = 8-F, Y = O) (228.7 mg) was treated with tert-Bu 3-(4-oxopiperidin-1-yl)propionate in the presence of low-valent Ti reagent (prepared from TiCl<sub>4</sub> and Zn) in THF under reflux for 20 min to give 269.2 mg I (X = N, X' = O, X'' = 8-F, Y = Z, R = CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>CMe<sub>3</sub>).

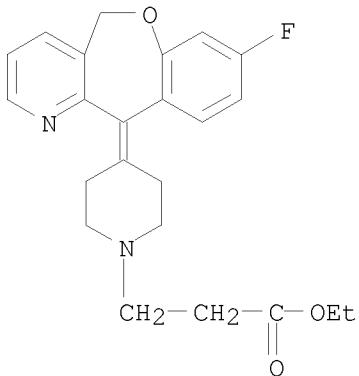
AN 2002:900817 CAPLUS

DN 138:4593

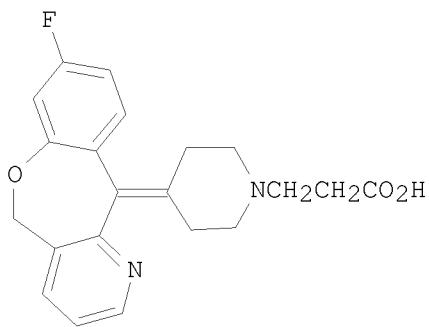
TI Preparation of antiallergic piperidylidenebenzoxepines or their intermediates

IN Sasaki, Tomomitsu; Sato, Takahiro; Ameda, Junichiro; Inoue, Tsutomu  
 PA Fuji Yakuhin Co., Ltd., Japan  
 SO Jpn. Kokai Tokkyo Koho, 6 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2002338574 JP 3548133	A B2	20021127 20040728	JP 2001-149896	20010518
PRAI	JP 2001-149896		20010518		
OS	MARPAT 138:4593				
IT	153250-06-7P				
	RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation) (preparation of antiallergic piperidylidenebenzoxepines or their intermediates)				
RN	153250-06-7 CAPLUS				
CN	1-Piperidinepropanoic acid, 4-(8-fluoro[1]benzoxepino[4,3-b]pyridin-11(5H)- ylidene)-, ethyl ester (CA INDEX NAME)				



L7 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN  
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AB An important approach to the design of antiallergic agents with reduced penetration into the central nervous system (CNS) is described. A series of 3-[(5,11-dihydro[1]benzoxepino[4,3-b]pyridin-11-ylidene)piperidino]propionic acid derivs. and related compds. were synthesized and evaluated for antiallergic activity and penetration of a compound into the CNS in comparison with the corresponding 6H-dibenz[b,e]oxepin derivative. Combination of zwitterionization and introduction of a pyridine component resulted in an increase in antiallergic activity and a great reduction of penetration into the CNS, which was evaluated by the selectivity (B/A) of antihistaminic activities in the central system [ID<sub>50</sub> value (B) for ex vivo H<sub>1</sub> binding to mouse brain membranes] and in the peripheral system [ED<sub>50</sub> value (A) for inhibitory effect on histamine-induced increase in vascular permeability in mice]. This surprising reduction of penetration into the CNS could be considered on the basis of an increase in hydrophilicity caused by both of the zwitterionization and the introduction of a pyridine component. 3-[4-(8-Fluoro-5,11-dihydro[1]benzoxepino[4,3-b]pyridin-11-ylidene)piperidino]propionic acid (I) exhibited a strong antiallergic effect in various exptl. models and very low penetration into the CNS. Compound I (HSR-609) is now under clin. trial as a promising antiallergic agent with greatly reduced penetration into the CNS.

AN 1995:319998 CAPLUS

DN 122:187448

OREF 122:34339a, 34342a

TI Amphoteric Drugs. 3. Synthesis and Antiallergic Activity of 3-[(5,11-Dihydro[1]benzoxepino[4,3-b]pyridin-11-ylidene)piperidino]propionic Acid Derivatives and Related Compounds

AU Iwasaki, Nobuhiko; Ohashi, Tetsuo; Musoh, Keiichi; Nishino, Hiroyuki; Kado, Noriyuki; Yasuda, Shingo; Kato, Hideo; Ito, Yasuo

CS Research and Development Division, Hokuriku Seiyaku Co. Ltd., Katsuyama, 911, Japan

SO Journal of Medicinal Chemistry (1995), 38(3), 496-507  
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

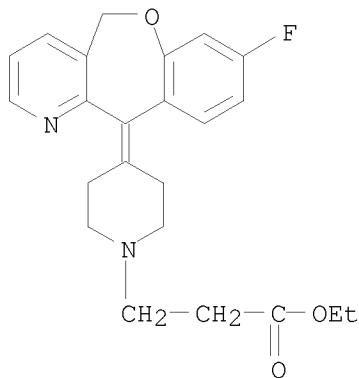
DT Journal

LA English

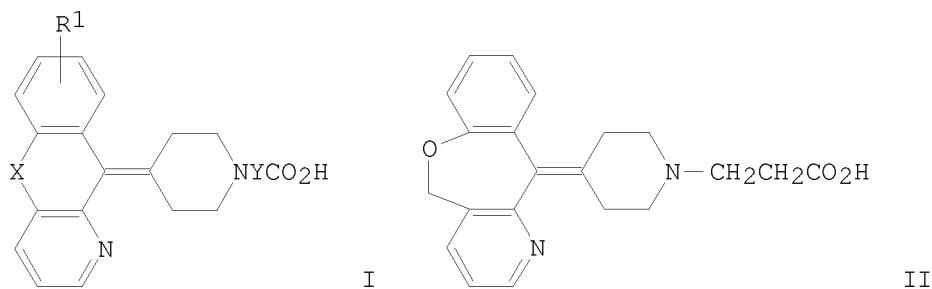
IT 153250-06-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(synthesis and antiallergic activity of [(dihydrobenzoxepinopyridinylidene)piperidino]propionic acid derivs. and related compds.)

RN 153250-06-7 CAPLUS  
 CN 1-Piperidinopropanoic acid, 4-(8-fluoro[1]benzoxepino[4,3-b]pyridin-11(5H)-ylidene)-, ethyl ester (CA INDEX NAME)



L7 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN  
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AB The title compds., such as [(benz[b]oxepino[4,3-b]pyridin-11-ylidene)piperidinyl]alkanoates and [(benzo[b]pyrano[3,2-b]pyridin-11-ylidene)piperidinyl]alkanoates, I (R1 = hydrogen, halo; X = oxygen, CH, OCH<sub>2</sub>, etc.; Y = alkylene) and their uses as antihistaminics and antiallergics and for the treatment of bronchial asthma are claimed. For example, 3-[4-(5,11-dihydrobenz[b]oxepino[4,3-b]pyridin-11-ylidene)piperidinyl]propionic acid (II) was prepared from Et 4-(5,11-dihydrobenz[b]oxepino[4,3-b]pyridin-11-ylidene)piperidinecarboxylate.

AN 1994:164249 CAPLUS

DN 120:164249

OREF 120:28987a, 28990a

TI Amphoteric tricyclic compounds as antihistaminic and antiallergic agents

IN Ito, Yasuo; Kato, Hideo; Yasuda, Shingo; Kado, Noriyuki; Iwasaki, Nobuhiko; Nishino, Hiroyuki; Takeshita, Makoto

PA Hokuriku Pharmaceutical Co., Ltd., Japan

